THE MERCK INDEX

THIRTEENTH EDITION

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AN ENCYCLOPEDIA OF
CHEMICALS, DRUGS, AND BIOLOGICALS

THIRTEENTH EDITION

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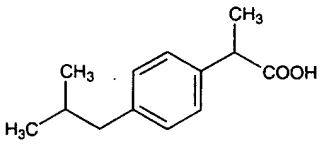
4906. Ibuprofen. [15687-27-1] α-Methyl-4-(2-methylpropyl)benzeneacetic acid; *p*-isobutylhydratropic acid; (±)-2-(4-isobutylphenyl)propionic acid; RD-13621; Advil; Anco; Bluton; Brufen; Brufort; Butylenin; Dolgit; Dolocyl; Epobron; Fenbid; Gynofug; Ibumetin; Ibutop; Ipren; Liptan; Motrin; Napacetin; Novogent; Nuprin; Nurofen; Opturem; Proflex; Solufen; Tabalon; Urem. $C_{13}H_{18}O_2$; mol wt 206.28. C 75.69%, H 8.79%, O 15.51%. Nonsteroidal anti-inflammatory drug (NSAID); activity resides primarily in the (*S*)-isomer. Prepn: J. S. Nicholson, S. S. Adams, **GB 971700**; *eidem*, **US 3385886** (1964, 1968 both to Boots Pure Drug); T. Shiori, N. Kawai, *J. Org. Chem.* **43,** 2936 (1978); J. T. Pinhey, B. A. Rowe, *Tetrahedron Letters* **21,** 965 (1980). Methods for resolution of enantiomers: R. Bhushan, J. Martens, *Biomed. Chromatog.* **12,** 309 (1998). HPLC determn in plasma: R. Canaparo *et al.*, *ibid.* **14,** 219 (2000). Pharmacology: S. S. Adams *et al.*, *Arch. Int. Pharmacodyn. Ther.* **178,** 115 (1969). Acute toxicity: G. Orzalesi *et al.*, *Arzneimittel-Forsch.* **27,** 1006 (1977). Clinical trial for closure of patent ductus arteriosus: B. Van Overmeire *et al.*, *N. Engl. J. Med.* **343,** 674 (2000). Review of pharmacology and clinical experience: M. Busson *et al.*, *J. Int. Med. Res.* **14,** 53-62 (1986); of clinical pharmacokinetics and metabolism: N. M. Davies, *Clin. Pharmacokinet.* **34,** 101-154 (1998).



Colorless, crystalline stable solid, mp 75-77°. Relatively insol in water. Readily sol in most organic solvents. LD_{50} in male mice, rats (mg/kg): 495, 626 i.p.; 1255, 1050 orally (Orzalesi).

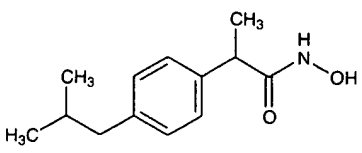
Lysine salt. Aciril; Arefen; Imbun. $C_{13}H_{18}O_2.C_6H_{14}N_2O_2$; mol wt 352.47.

***S*-Form L-lysine salt monohydrate.** [141505-32-0] Dexibuprofen lysine; MK-223. $C_{13}H_{18}O_2.C_6H_{14}N_2O_2.H_2O$; mol wt 370.48.

THERAP CAT: Anti-inflammatory; analgesic; antipyretic.

THERAP CAT (VET): Anti-inflammatory.

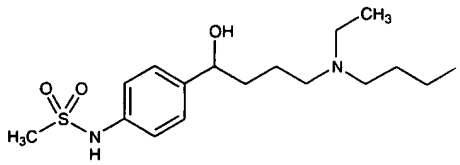
4907. Ibuproxam. [53648-05-8] *N*-Hydroxy-α-methyl-4-(2-methylpropyl)benzeneacetamide; *dl*-2-(4-isobutylphenyl)-propionohydroxamic acid; G-277; Ibudros. $C_{13}H_{19}NO_2$; mol wt 221.29. C 70.56%, H 8.65%, N 6.33%, O 14.46%. Hydroxylamine deriv of ibuprofen, *q.v.*, to which it is converted *in vivo*. Prepn: G. Orzalesi, R. Selleri, **DE 2400531**; *eidem*, **US 4082707** (1974, 1978 both to Manetti & Roberts). Metabolism in rats: G. Orzalesi *et al.*, *Arzneimittel-Forsch.* **27,** 1012 (1977); in humans: *eidem*, *ibid.* **30,** 1607 (1980). Pharmacological study: *eidem*, *ibid.* **27,** 1006 (1977). Thermal decomposition: S. Chimichi *et al.*, *J. Pharm. Sci.* **69,** 521 (1980). Physico-chemical properties: M. Mannelli *et al.*, *Boll. Chim. Farm.* **119,** 203 (1980).



Crystals from acetone/petr ether, mp 119-121°. Sol in methanol, ethanol, acetone, ethyl ether. Practically insol in water and petr ether. LD_{50} in mice, rats (g/kg): >2, >3 orally (Orzalesi, Selleri, 1978).

THERAP CAT: Anti-inflammatory.

4908. Ibutilide. [122647-31-8] *N*-[4-[4-(Ethylheptylamino)-1-hydroxybutyl]phenyl]methanesulfonamide. $C_{20}H_{36}N_2O_3S$; mol wt 384.58. C 62.46%, H 9.44%, N 7.28%, O 12.48%, S 8.34%. Prepn: J. B. Hester, **EP 164865**; *idem*, **US 5155268** (1985, 1992 both to Upjohn); J. B. Hester *et al.*, *J. Med. Chem.* **34,** 308 (1991). Electrophysiologic effects: L. V. Buchanan *et al.*, *J. Cardiovasc. Pharmacol.* **22,** 10 Effect on facilitated defibrillation: R. C. Wesley, Jr., *J. Physiol.* **264,** H1269 (1993). Mechanism of action: K. S. Lee, *J. Pharmacol. Exp. Ther.* **262,** 99 (1992); richs *et al.*, *ibid.* **266,** 1348 (1993).



Fumarate. [122647-32-9] U-70226E; Corvert $O_3S)_2.C_4H_4O_4$; mol wt 885.24. Crystals from acetone 119°. uv max (95% ethanol): 228, 267 nm (ε 16

THERAP CAT: Antiarrhythmic (class III).

4909. Iceland Moss. *Cetraria islandica* (L.) *meliaceae*, a lichen growing in all northern countries from Iceland, Norway, and Sweden. The gum from dered plant appears to be a hemicellulose consisting of galactose, mannose, and glucose; *cf.* Mantell, *Soluble Gums*, New York, 1947.

About 60% of dried Iceland moss dissolves when water contg a little sodium bicarbonate. The soln is when cold.

USE: Manuf sea biscuits which are somewhat more to weevil infestation than when wheat flour alone; foods for convalescents. Manuf sizing agents for setting lotions, other cosmetics.

4910. Ichthammol. [8029-68-3] Ammonium sulfonate; ammonium ichthosulfonate; ammonium nate; ammonium sulfoichthyolate; bitumol; bitumonium; ammonium bithiolicum; ichthosulfol; Ichthiol; Ichden; Ichtammon; Ichthadone; Ichthymall; Ichthalum; Ichthium; Ichtopur; Ichthosan; Ichthyopon; Lithol; Petrosulpho; Perichthol; Piscarol; Subitol; Sulfogenol; Thilaven; Thiolin; Thiozin; Tumenol; Leukochthol; Ichthosauran; Amsubit; tained by sulfation and ammoniation of a distillate deposits (bituminous schists) originally found near rol. Contains satd and unsatd hydrocarbons, nitrogen acids, and several thiophene derivs. Analysis shows 2.5% NH_3 and at least 10% S. Also contains trace minerals and ***"zoomelanoidic" acids***. Method of preparation, **DE 35216** (1885); Helmers, **DE 76128** (1892). posits occur in Asia east of Lake Baikal where they as ***stone oil***, ***barakshin***, ***Asil***; sold in India for remedy as ***saladjidi***: Gerbrein, *Photo-Journal* (Montreal, 1 9) p 19. *Review:* Wernicke, *Chem. Ztg.* **60,** 85-8

Pale yellow or (usually) brownish-black, thick, v Bituminous odor. Miscible with water, glycerol, pro col, fats, oils, carbowaxes, lanolin. Partially sol ether.

An injectable form is marketed as ***Adnexol***.

THERAP CAT: Anti-infective (topical).

THERAP CAT (VET): Demulcent, emollient, antise

4911. Idarubicin. [58957-92-9] (7*S*,9*S*)-9 amino-2,3,6-trideoxy-α-L-*lyxo*-hexopyranosyl)oxy tetrahydro-6,9,11-trihydroxy-5,12-naphthacenedione 3-acetyl-1,2,3,4,6,11-hexahydro-3,5,12-trihydroxy-6 1-naphthacenyl-3-amino-2,3,6-trideoxy-α-L opyranoside; 4-demethoxydaunomycin; 4-demethoxybicin; DMDR; IMI-30; NSC-256439. $C_{26}H_{27}NO$ 497.49. C 62.77%, H 5.47%, N 2.82%, O 28.94 tive anthracycline; analog of daunorubicin, *q.v.* Pr telli *et al.* **DE 2525633**; *eidem*, **US 4046878** (197 to Soc. Farmac. Ital.); and antitumor activity: F. A *al.*, *Cancer Treat. Rep.* **60,** 829 (1976). Total s larger scale preparation: M. J. Broadhurst *et al.*, *mun.* **1982,** 158. Synthesis of optically pure isom mura *et al.*, *Bull. Chem. Soc. Japan.* **59,** 423 (1986